

Form PTO-1449

ATTY DOCKET NO.  
06076

APPLICATION No.  
10/582,778

## INFORMATION DISCLOSURE CITATION IN AN APPLICATION

(Use several sheets if necessary)

APPLICANT  
Jean Lafay et al

FILING DATE  
August 17, 2006

GROUP  
1626

## U.S. PATENT DOCUMENTS

EXAMINEE TRADEMARK OFFICE INITIAL	DOCUMENT NUMBER	DATE	NAME	CLASS	SUB CLASS	FILING DATE IF APPROPRIATE

## FOREIGN PATENT DOCUMENTS

	DOCUMENT NUMBER								DATE	COUNTRY	CLASS	SUB CLASS	TRANSLATION	
													YES	NO
	DE 1	9	5	0	4	9	1	04/1971	Lorenz					
	DE 3	4	3	5	1	7	3	04/1985	Hageman et al					

**OTHER DOCUMENTS** (Including Author, Title, Date, Pertinent Pages, etc.)

	Adams et al "Pyrimidinylimidazole Inhibitors of CSBP/P38 Kinase Demonstrating Decreased Inhibition of Hepatic Cytochrome P450 Enzymes" Bioorganic & Medicinal Chemistry Letters 8, 1998, pp 3111-3116.
	Ahmed et al "Evidence for the Mechanism of the Irreversible Inhibition of Oestrone Sulphatase (ES) by Aminolsulphonate Based Compounds" Journal of Steroid Biochemistry & Molecular Biology 80, 2002, pp 429-440.
	Ahmed et al "Review of Estrone Sulfatase and its Inhibitors-An Important New Target Against Hormone Dependent Breast Cancer" Current Medicinal Chemistry 2002, pp 262-273.
	Andersen et al "1,2,3-Benzoxathiazole 2,2-Dioxides: Synthesis, Mechanism of Hydrolysis, and Reactions with Nucleophiles" J. Org. Chem 1991, pp 6508-6516.
	Becker et al "Notiz zur Kupplung von 4-Hydroxy-pyridazinen" Journal fur Praktische Chemie 1970, pp 591-602.
	Boger et al "Diels-Alder Reactions of Heterocyclic Azadienes: Total Synthesis of PDE I, PDE II, and PDE I Dimer Methyl Ester" J. Am. Chem Soc. 1987, pp 2717-2727.
	Bonjouklian "A Direct Synthesis of Benzothiophene-3-Carboxylic Acid From Benzothiophene" Synthetic Communications 15(8), 1985, pp 711-713.
	Bordwell et al "The Reduction of Sulfones to Sulfides" JACS 1951, pp 2251-2253.
	Bordwell et al "Studies in the Thianaphthene Series. <sup>1</sup> II Aminothianaphthene-1-dioxides <sup>2</sup> " JACS 1951, pp 1955-1958.

EXAMINER

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	Bredereck et al "Synthesen und Reaktionen von 4-Chlor-5-cyan-pyrimidin. Synthese von 4-Amino- und 4-Hydroxy-pyrimidin-aldehyd-(5)" Chem. Ber 100, 1967, pp 3664-3670.							
	Budesinsky et al "Long-Acting Sulphonamides. IV, Reductive Cleavage of the Ether Bond in the Pyrimidine and Pyridine Series" Czech. Chem. Community 1968, pp 2266-2275.							
	Burawoy et al "Electronic Spectra of Organic Molecules and their Interpretatio Part I." J. Chemical Society 1955, pp 2557-2563.							
	Cannizzo et al "Synthesis of Substituted [1] Benzothienol[2,2-b]pyrazines" J. Heterocyclic Chem., 1990, pp 2175-2179.							
	Castiglione-Gertsch "New Aromatase Inhibitors: More Selectivity, Less Toxicity, Unfortunately, the Same Activity" EP J. Of Cancer, 1996, pp. 393-395.							
	Chapman et al "Thieno[2,2-b][1]Benzothiophen and Thieno [3,2-b][1]Benzothiophen. Part 1. Preparation" J. Chem. Soc. 1970, pp 2431-2435.							
	Chung et al "Friedel-Crafts Cyclization of 2-(3-Indolythio)propionic Acids. An Unusual Rearrangement Leading to 4-Sulfur-Substituted Tricyclic Indoles" Tetrahedron Letters, 1992, pp 4717-4720.							
	Cooper et al "A Concise Synthesis of Either Enantiomer of Azatyrosine" Bioorganic & Medicinal Chemistry Letters, 1996, pp 2613-2616.							
	Daves et al "Pyrimidines. II. Orotic Acid Analogs" Midwest Research Institute 1960, pp 2755-2763.							
	Daynes et al "Regulation of Murine Lymphokine Production in Vivo" J. Exp. Med. 1990, pp 979-996.							
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		Dibbelt et al "Human Placental Sterylsulfatase" Biol. Chem. Hoppe-Seyler, 1991, pp 173-185.						
		Drabowicz et al "Deoxygenation of Sulfoxides, A Review" Organic Preparation and Procedures Int. 1977, pp 63-83.						
		Ellefson et al "Synthesis and Evaluation of 1,2,3,4-Tetrahydro[1]benzothieno[2m2-h]isoquinolines as Dopamine Antagonists" J. Med. Chem. 1981, pp 1107-1110.						
		Felder et al "97. Uber die Herstellung von 2-Amino-5-Pyrazincarbonsaure und Derivaten" Vol. 47, Helv. Chim. Acta., 1964, pp 873-876.						
		Felix et al "Rapid Removal of Protecting Groups from Peptides by Catalytic Transfer Hydrogenation with 1,3-Cyclohexadiene" J. Org. Chem. 1978, pp 4194-4196.						
		Fischer "Can N-Alkyl- and N-Arylimidazoles be Prepared Directly from Alcohols and Phenols with N,N'-Carbonyldiimidazole?" Ciba Specialty Chemicals, 2002, pp 29-30.						
		Golob et al "Sulfamoyloxy-Substituted 2-Phenylindoles: Antiestrogen-Based Inhibitors of the Steroid Sulfatase in Human Breast Cancer Cells" Bioorganic & Medicinal Chemistry 10, 2002, pp 3941-3953.						
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		Graham et al "Topically Active Carbonic Anhydrase Inhibitors. 2. Benzo[b]thiophenesulfonamide Derivatives with Ocular Hypotensive Activity" J. Med. Chem. 1989, pp 2548-2554.					
		Huffman et al "Reaction of s-Triazine with Acidic $\alpha$ -Methylene Compounds" J. Org. Chem, 1962, pp 551-558.					
		Isoda et al "Medicinal Chemical Studies on Antiplasmin Drugs. VI <sup>1</sup> Aza Analogs of 4-Aminomethylbenzoic Acid" Chem. Pharm. Bull. 1980, pp 1408-1414.					
		Ivanov et al "Down-regulation of Transmembrane Carbonic Anhydrases in Renal Cell Carcinoma Cell Lines by Wild-type von Hippel-Lindau Transgenes" Proc. Natl. Acad. Medical Sciences, 1998, pp. 12596-12601.					
		Johnson et al "The Effect of Steroid Sulfatase Inhibition on Learning and Spatial Memory", Brain Research, 2000, pp 286-290.					
		Jonat et al "A Randomised Trial Comparing Two Doses of the New Selective Aromatase Inhibitor Anastrozole (Arimidex) with Megestrol Aceetate in Postmenopausal Patients with Advanced Breast Cancer" EP Journal of Cancer, 1996, pp 404-412.					
		Koguro et al "Novel Synthesis of 5-Substituted Tetrazoles from Nitriles" Synthesis, 1998, pp 910-914.					
		Kunieda et al "Preparation and Photochemistry of Pyrimidine Nucleoside Sulfonium Ylides" J. Am. Chem. Soc., 1971, pp 3487-3493.					
		Lerch et al "Selective Alkylation of Phenylhydrazine: A Facile and Efficient Synthesis of 1-Alkyl-1-phenylhydrazines" Synthesis, 1983, pp 157-158.					
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						YES	NO	
	Lutz et al "Isomerism in the Direct Chlorination of 2-Methylpyrazine" J. Org. Chem., 1964, pp 415-418.							
	MacCarthy-Morrough et al "Differential Effects of Estrone and Estrone-3-0-Sulfamate Derivatives on Mitotic Arrest, Apoptosis, and Microtubule Assembly in Human Breast Cancer Cells" Cancer Research 60, 2000, pp 5441-5450.							
	Mann et al "Keratinocyte-Derived Granulocyte-Macrophage Colony Stimulating Factor Accelerates Wound Healing: Stimulation of Keratinocyte Proliferation, Granulation Tissue Formation, and Vascularization" J. Invest. Derm., 2001, pp 1382-1390.							
	MARCH Advanced Organic Chemistry Reactions, 4 <sup>th</sup> ed., Wiley Interscience, NY. pp 1792-1805.							
	MARCH Advanced Organic Chemistry Reactions, 4 <sup>th</sup> ed., Wiley Interscience, NY. pp 1295-1296.							
	MARCH Advanced Organic Chemistry Reactions, 4 <sup>th</sup> ed., Wiley Interscience, NY pp 555-559.							
	Matassa et al "Synthesis and in Vitro LTD <sub>4</sub> Antagonist Activity of Bicyclic and Monocyclic Cyclopentylurethane and Cyclopentylacetamide N-Arylsulfonyl Amides" M. Med. Chem., 1990, pp 2621-2629.							
	Matecka et al "Heteroaromatic Analogs of 1-[2-(Diphenylmethoxy)ethyl]-and 1-[2-[Bis(4-Fluorophenyl)methoxy]ethyl]-3-(3-phenylpropyl)piperazines (GBR 12935 and GBR 12909) as High-Affinity Dopamine Reuptake Inhibitors" J. Med. Chem 1997, pp 705-716.							
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	McOmie et al "Demethylation of Aryl Methyl Ethers by Boron Tribromide" Tetrahedron, 1968, pp 2289-2292.
	Meerpoel et al "Synthesis of 6-Methoxy- and 6-Chloro-2(1H)-Pyridinone Acyclo-C-Nucleosides from 2H-1,4-Oxazin-2-ones" Tetrahedron, 1993, pp 4085-4098,
	Myers et al "A Practical Synthesis of L-Azatyrosline" J. Org. Chem. 1996, pp 813-815.
	Nishioka et al "Regioselective Dealkylation of 2-Alkoxybenzoic Acid and its Amide Derivatives with Aliphatic Amines" Synthesis 2000, pp 243-246.
	Okada et al "Efficient General Method for Sulfamoylation of a Hydroxyl Group" Tetrahedron Letters 414, 2000, pp 7047-7051.
	Ong et al "[ (6,7-Dichlorobenzo[b]thien-5-yl)oxy]acetic Acids and 1,1-Dioxides. <sup>1</sup> I. A Structurally Novel Class of Diuretics with Hypotensive Activity" J. Med. Chem. 1987, pp 2295-2303.
	Nussbaumer et al "2-Substituted 4-(Thio)chromenone 6-O-Sulfamates: Potent Inhibitors of Human Steroid Sulfatase" J. Med. Chem. 2002, pp 4310-4320.
	Parkkila et al "Immunohistochemical Demonstration of Human Carbonic Anhydrase Isoenzyme II in Brain Tumours" Histochemical Journal 27, 1995, pp 974-982.

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	Parkkila et al "Carbonic Anhydrase Inhibitor Suppresses Invasion of Renal Cancer Cells in vitro" Proc. Natl. Acad. Sci., USA, 2000, pp 2220-2224.
	Nussbaumer et al "Steroid Sulfatase Inhibitors" Medicinal Research, 2004, pp 529-576.
	Parkkila et al "Immunohistochemical Demonstration of the Carbonic Anhydrase Isoenzymes I and-II in Pancreatic Tumours" Histochemical Journal 27, 1995, pp 133-138.
	Pasqualini et al "Estrone Sulfatase Versus Estrone Sulfotransferase in Human Breast Cancer: Potential Clinical Applications" Journal of Steroid Biochemistry etc., 1999, pp 287-292.
	Piras et al "Synthesis and Evaluation of Gastroprotective Activity of Omeprazole Related Benzimidazole, Imidazo[4,5b] Ppyridine and Quinoxaline 20Substituted Derivatives", Il Farmaco 1993, pp 1249-1259.
	Woo et al "First Dual Aromatase-Steroid Sulfatase Inhibitors" J. Med. Chem. 2003, pp 3193-3196.
	Purohit et al "In Vivo Inhibition of Estrone Sulfatase Activity and Growth of Nitrosomethylurea-induced Mammary Tumors by 667 Coumate <sup>1</sup> " Cancer Research 60, 2000, pp 3394-3396.
	Purohit et al "Non-steroidal and Steroidal Sulfamates: New Drugs for Cancer Therapy" Molecular and Cellular Endocrinology 171, 2001, pp 129-135.
	Reed et al "Sulphatase Inhibitors: the Rationale for the Development of a New Endocrine Therapy" Reviews on Endocrine-Related Cancer 1993, pp 51-62.

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	Grivas et al "Facile Desulfurization of Cyclic Thioureas by Hydrogen Peroxide in Acetic Acid" Acta Chemica Scandinavica 49, 1996, pp 225-229.							
	Sall et al "Diamino Benzo[b]thiophene Derivatives as a Novel Class of Active Site Directed Thrombin Inhibitors, 5, Potency, Efficacy, and Pharmacokinetic Properties of Modified C-3 Side Chain Derivatives" J. Med Chem. 2000, pp 649-663.							
	Sato et al "Studies on Pyrazines, 7(1), The Eynthesis of 5-Chloropyrazinecarboxylic Acid" J. Heterocyclic Chem., 1982, pp 407-408.							
	Schreiner et al "Estrone Formate: a Novel Type of Irreversible Inhibitor of Human Steroid Sulfatase" Bioorganic & Medicinal Chemistry Letters 14, 2004, pp 4999-5002.							
	Simpson et al "Aromatase Expression in Health and Disease" Endocrine Society, 1997, pp 185-214.							
	Simpson et al "ARomatase Cytochrome P450, the Enzyme Responsible for Estrogen Biosynthesis" Endocrine Society 1994, pp. 342-355.							
	Stein et al "Cloning and Expression of Human Steroid-sulfatase" The Journal of Biological Chemistry 1989, pp 13865-13872.							
	Suitters et al "Immune Enhancing Effects of Dehydroepiandrosterone and Dehydroepiandrosterone Sulphate and the Role of Steroid Sulphatase" Immunology 1997, pp 314-321.							
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		Svoboda et al "Synthesis and Biological Evaluation of New Antiinflammatory 1-Benzothiophene-2-Carboxanilides" Czech. Cham. Commun (vol. 65) 2000, pp 1082-1092.					
		Lapierre et al "The Difluoromethylene Group as a Replacement for the Labile Oxygen in Steroid Sulfates: a New Approach to Steroid Sulfatase Inhibitors" Bioorganic & Medicinal Chemistry Letters 14, 2004, pp 151-155.					
		Tilley et al "Biphenylcarboxamide Derivatives as Antagonists of Platelet-Activating Factor" J. Med. Chem 1989, pp 1814-1820.					
		Turnbull et al "Activated Carbonyl Species for the Preparation of ortho-Acylarylsydnone" J. Heterocyclic Chem., 2000, pp 383-388.					
		Winum et al "Carbonic Anhydrase Inhibitors. Inhibition of Cytosolic Isozymes I and II and Transmembrane, Tumor-Associated Isozyme IX with Sulfamates Including EMATE Also acting as Steroid Sulfatase Inhibitors" J. Med. Chem. 2003 pp 2197-2204					
		Wolf et al "Actions of Dehydroepiandrosterone and its Sulfate in the Central Nervous System: Effects on Cognition and Emotion in Animals and Humans" Brain Research Rev., 1999, pp 264-288.					
		Yanai et al "A New Alkylation of Pyridazines with Nitromethane and Nitroethane" Heterocycles, Vol. 4, No. 8, 1976, pp 1331-1335.					
EXAMINER /Robert Havlin/ (05/20/2010)				DATE CONSIDERED 05/20/2010			
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